| | ENTRY | SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 09:05:27 ON 23 JAN 2006


Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 22 JAN 2006 HIGHEST RN 872405-17-9
DICTIONARY FILE UPDATES: 22 JAN 2006 HIGHEST RN 872405-17-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

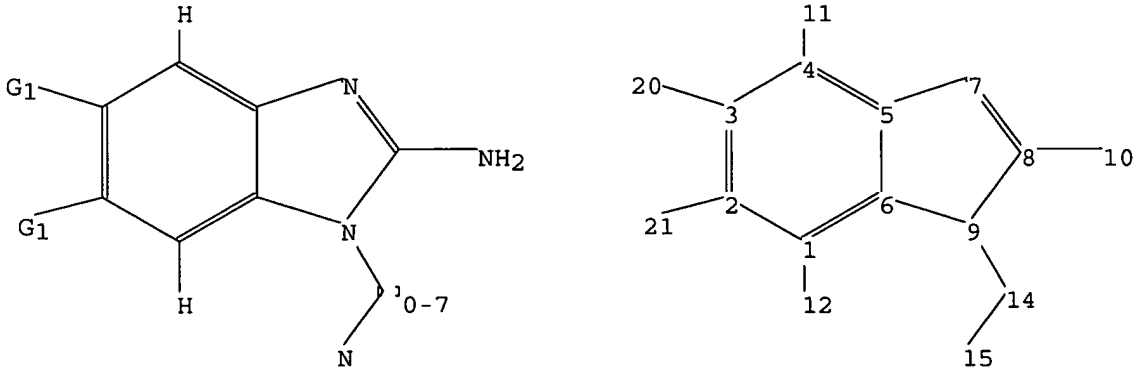
**********
*
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
*
**********

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10071978ff.str




chain nodes :
10 11 12 14 20 21

<01/23/2006> Habte

```
ring nodes :
1  2  3  4  5  6  7  8  9  15
chain bonds :
1-12  2-21  3-20  4-11  8-10  9-14  14-15
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  5-7  6-9  7-8  8-9
exact/norm bonds :
2-21  3-20  5-7  6-9  7-8  8-9  8-10  9-14  14-15
exact bonds :
1-12  4-11
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6
isolated ring systems :
containing 1 :


G1:X,Ak,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,s-BuO,t-BuO,O,CF3,CBr3,H

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:CLASS
11:CLASS  12:CLASS  14:CLASS  15:CLASS  20:CLASS  21:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

Structure attributes must be viewed using STN Express query preparation.


=> s l1
SAMPLE SEARCH INITIATED 09:05:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      424 TO ITERATE

100.0% PROCESSED      424 ITERATIONS                                1 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          7245 TO     9715
PROJECTED ANSWERS:                1 TO       80

L2            1 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 09:06:00 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     8477 TO ITERATE

100.0% PROCESSED     8477 ITERATIONS                              47 ANSWERS
SEARCH TIME: 00.00.01

L3           47 SEA SSS FUL L1

=> file caplus
```

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 166.94 | 167.15 |

FILE 'CAPLUS' ENTERED AT 09:06:07 ON 23 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.


FILE COVERS 1907 - 23 Jan 2006 VOL 144 ISS 5
FILE LAST UPDATED: 22 Jan 2006 (20060122/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s l3
L4 24 L3

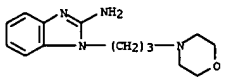
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1122121 CAPLUS
DOCUMENT NUMBER: 144:31950
TITLE: SAR by MS: Discovery of a New Class of RNA-Binding Small Molecules for the Hepatitis C Virus: Internal Ribosome Entry Site IIA Subdomain
AUTHOR(S): Seth, Punit P.; Miyaji, Alycia; Jefferson, Elizabeth A.; Sannes-Lowery, Kristin A.; Osgood, Stephen A.; Propp, Stephanie S.; Ranken, Ray; Massire, Christian; Sampath, Rangarajan; Ecker, David J.; Swayze, Eric E.; Griffey, Richard H.
CORPORATE SOURCE: Ibis Therapeutics Division, Isis Pharmaceuticals Inc., Carlsbad, CA, 92008, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(23), 7099-7102
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new class of small mols. that bind the HCV RNA IRES IIA subdomain with sub-micromolar affinity is reported. The benzimidazole 'hit' 1 with a KD .apprx.100 μM to a 29-mer RNA model of Domain IIA was identified from a 180000-member library using mass spectrometry-based screening methods. Further MS-assisted SAR (structure-activity relationships) studies afforded benzimidazole derivs. with sub-micromolar binding affinity for the IIA RNA construct. The optimized benzimidazoles demonstrated activity in a cellular replicon assay at concns. comparable to their KD for the RNA target.

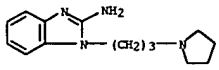
IT **62553-50-8P 705285-21-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(SAR by MS and discovery of a new class of RNA-binding small mols. for hepatitis C virus binding to internal ribosome entry site IIA subdomain)

RN 62553-50-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



RN 705285-21-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

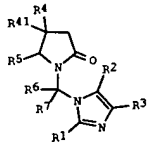


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:523414 CAPLUS
DOCUMENT NUMBER: 143:59977
TITLE: Preparation of oxopyrrolidinylmethylimidazoles as levetiracetam binding site LBS/SV2 ligands
INVENTOR(S): Kenda, Benoit; Michel, Philippe; Quesnel, Yannick
PATENT ASSIGNEE(S): UCB, S. A., Belg.
SOURCE: PCT Int. Appl., 106 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005054188 | A1 | 20050616 | WO 2004-EP13516 | 20041129 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2005137241 | A1 | 20050623 | US 2004-999217 | 20041130 |
| PRIORITY APPLN. INFO.: | | | EP 2003-27614 A | 20031202 |
| OTHER SOURCE(S): | MARPAT 143:59977 | | | |

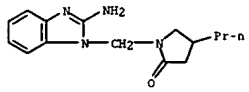
GI



I

AB Title compds. [I; R1 = H, alkyl, cycloalkyl, halo, OH, alkoxy, aryloxy, ester, amido, cyano, NO2, amino, guanidino, alkylthio, arylthio, aryl, heterocyclyl, etc.; R2, R3 = H, alkyl, alkoxy, amino, halo, OH, ester, amido, NO2, carbamate, cyano, aryl; R4 = H, alkyl, alkenyl, alkynyl, aryl, N3, alkoxycarbonylamino, arylsulfonyloxy, heterocyclyl; R41 = H, alkyl; R4R41C = cycloalkyl; R5 = H; R2R3, R4R41R5 = atoms to form a (substituted) benzo ring; R6 = H, alkyl; R7 = H; R6R7C = cycloalkyl; with a proviso], were prepared
Thus, 4-(3-azido-2,4-difluorophenyl)-1-hydroxymethylpyrrolidin-2-one (preparation given) in CH2Cl2 at 0° was stirred with Me2C:CClNMe2; after 3.5 h imidazole in CH2Cl2 was added followed by stirring at room temperature to 28° to give 75% 4-(3-azido-2,4-difluorophenyl)-1H-imidazol-1-ylmethylpyrrolidin-2-one (II). [3H]-(+)-II bound to LBS with pKi = 7.5.

L4 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
IT **854141-19-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of oxopyrrolidinylmethylimidazoles as levetiracetam binding site LBS/SV2 ligands)
RN 854141-19-8 CAPLUS
CN 2-Pyrrolidinone, 1-[(2-amino-1H-benzimidazol-1-yl)methyl]-4-propyl- (9CI) (CA INDEX NAME)

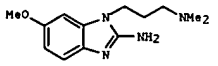


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:497490 CAPLUS
DOCUMENT NUMBER: 143:53439
TITLE: Benzimidazoles and analogs preparation as antiviral agents
INVENTOR(S): Swayze, Eric E.; Seth, Punit P.; Griffey, Richard H.; Jefferson, Elizabeth Anne
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 66 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 2005124638 | A1 | 20050609 | US 2003-729189 | 20031208 |
| PRIORITY APPLN. INFO.: | | | US 2003-729189 | 20031208 |

OTHER SOURCE(S): MARPAT 143:53439
GI



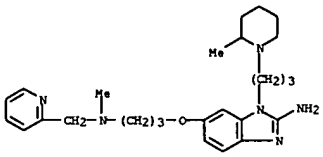
I

AB Benzimidazole analogs are prepared and tested for antiviral activity. I was prepared from 3-fluoro-4-nitrolphenol reaction with an alkyl halide or alkylsulfonate, then treated with the appropriate amine, reduced with Pd/H, and then treated with CNBr. A mass spectrometry based binding assay screening for antiviral activity was performed by measuring the formation of noncovalent complexes between a single ligand or ligand mixture and the appropriate RNA target.

IT **705285-89-8 705285-90-1**
RL: PAC (Pharmacological activity); BIOL (Biological study)
(benzimidazoles and analogs preparation as antiviral agents)

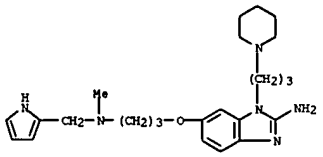
RN 705285-89-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(2-methyl-1-piperidinyl)propyl]-6-[3-[methyl(2-pyridinylmethyl)amino]propoxy]-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



●3 HCl

RN 705285-90-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[3-[methyl(1H-pyrrol-2-ylmethyl)amino]propoxy]-1-[3-(1-piperidinyl)propyl]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

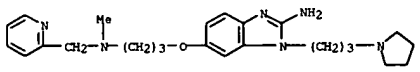
IT **705284-88-4P 705284-90-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(benzimidazoles and analogs preparation as antiviral agents)

RN 705284-88-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[3-[methyl(2-pyridinylmethyl)amino]propoxy]-1-[3-(1-pyrrolidinyl)propyl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 705284-87-3
CMF C24 H34 N6 O

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



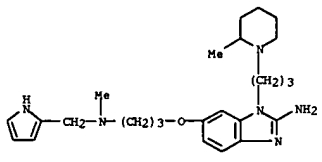
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 705284-90-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(2-methyl-1-piperidinyl)propyl]-6-[3-[methyl(1H-pyrrol-2-ylmethyl)amino]propoxy]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 705284-89-5
CMF C25 H38 N6 O



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

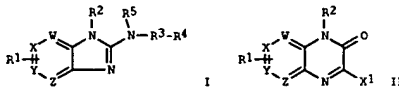
ACCESSION NUMBER: 2005:216819 CAPLUS
DOCUMENT NUMBER: 142:280231
TITLE: Preparation of fused imidazole and pyrazine derivatives as cannabinoid CB2 receptor agonists
INVENTOR(S): Cowden, William B.; March, Darren R.; Robertson, Alan; Jenkins, Natalie
PATENT ASSIGNEE(S): Pharmaxis Pty Ltd., Australia
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2005021547 | A2 | 20050310 | WO 2004-US27809 | 20040827 |
| WO 2005021547 | A3 | 20050818 | | |

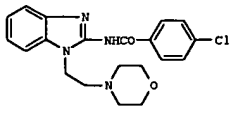
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-498288P P 20030828
US 2004-541777P P 20040205
OTHER SOURCE(S): MARPAT 142:280231
GI

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I II



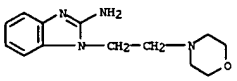
III

AB Fused imidazoles I and pyrazines II [W, X, Y, Z = C, N, with ≤ 2 being N atoms; R1 = H, alkyl, halogen, OMe, CF3, OCF3, OCHF2, OH, alkoxy; R2 = alkyl, cycloalkyl, heterocyclyl, heterocyclylalkyl, heteroaryl, heteroaralkyl; R3 = (un)substituted CH2, CO, SO2; R4 = alkyl, cycloalkyl, heteroalkyl, heterocyclyl, aryl, heteroaryl; R5 = H, alkyl, heteroalkyl; X1 = N(R5)R3-R4, COY1, C(:NH)Y1; Y1 = N(R5)R3-R4, alkyl, alkenyl, cycloalkyl, heteroaryl, heterocyclyl, heterocyclylalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl] were prepared As agonists, these compds. stimulate a CB2-related post-binding signal transduction event, e.g., inhibition of adenylyl cyclase activity, after binding to a CB2 receptor on a cell. These compds. are used to treat inflammatory conditions, cell proliferative disorders, or an immune disorder, and may be administered in combination with agents that are also useful for the treatment of the symptoms or cause of the underlying disease or condition. Thus, 2-ClC6H4NO2 was treated with 2-aminoethylmorpholine, followed by reduction to the diamine, cyclization with BrCN, and reaction with 4-ClC6H4COCl to give the benzimidazole III which had IC50 for binding to the CB2 receptor of 5.01 μM.

IT 26840-48-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused imidazole and pyrazine derivs. as cannabinoid CB2 receptor agonists)

RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:486384 CAPLUS
DOCUMENT NUMBER: 141:54336
TITLE: Preparation of benzimidazole derivs. as antiviral agents
INVENTOR(S): Seth, Punit P.; Jefferson, Elizabeth Anne; Griffey, Richard H.; Swayze, Eric E.
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004050035 | A2 | 20040617 | WO 2003-US38417 | 20031203 |
| WO 2004050035 | A3 | 20050113 | | |

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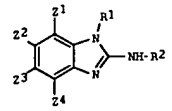
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| US 2005165007 | A1 | 20050728 | US 2004-946757 | 20040922 |
| PRIORITY APPLN. INFO.: | | | US 2002-430495P | P 20021203 |
| | | | WO 2003-US38417 | A1 20031203 |

OTHER SOURCE(S): MARPAT 141:54336
GI

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



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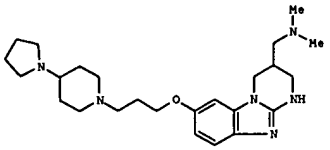


II

AB The title compound I [R1 = a substituent of formula G1-NX1X2, wherein G1 is an optionally further substituted alkylene, which optionally forms, together with R2, a cyclic group; R2 = H or together with R1 forms a cyclo ring; each of X1 and X2 is independently H or an N-substituent, or X1 and X2 together form a heterocyclic ring, or X1 together with G1 forms a cyclic group and X2 is H or an N-substituent; each of Z1, Z2, Z3 and Z4 = H or a substituent, or two of Z1, Z2, Z3 and Z4 together form an optionally substituted ring, and further wherein at least one of Z1, Z2, Z3 and Z4 is other than H] were prepared as antiviral agents for the treatment of hepatitis C virus infection. For example, compound II was prepared in a multi-step synthesis. The latter showed a KD = 1.7 μM in the mass spectrometry based binding assay to HCV IRES and IC50 = 19.2 μM in the HCV replicon assay.

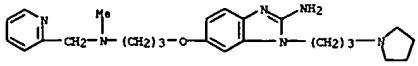
IT **705284-88-4P 705284-90-8P 705285-21-8P 705285-89-8P 705285-90-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as antiviral agents)

RN 705284-88-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[3-[methyl(2-pyridinylmethyl)amino]propoxy]-1-[3-(1-pyrrolidinyl)propyl]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 705284-87-3
CMF C24 H34 N6 O

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



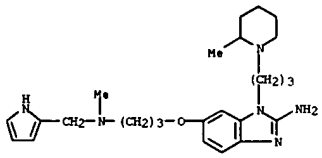
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 705284-90-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(2-methyl-1-piperidinyl)propyl]-6-[3-[methyl(1H-pyrrol-2-ylmethyl)amino]propoxy]-, tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 705284-89-5
CMF C25 H38 N6 O

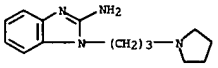


CM 2

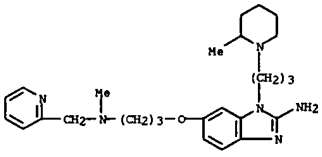
CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 705285-21-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)



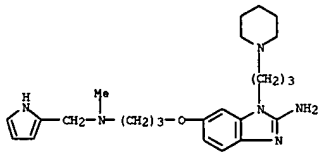
RN 705285-89-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(2-methyl-1-piperidinyl)propyl]-6-[3-[methyl(2-pyridinylmethyl)amino]propoxy]-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

RN 705285-90-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-[3-[methyl(1H-pyrrol-2-ylmethyl)amino]propoxy]-1-[3-(1-piperidinyl)propyl]-, trihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

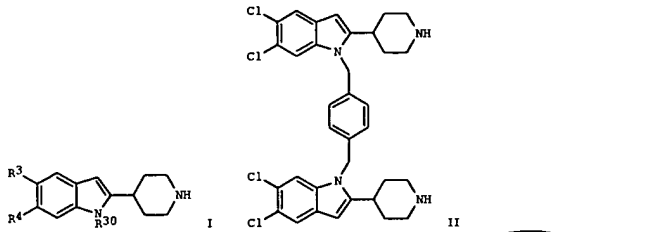


●3 HCl

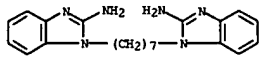
L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:470960 CAPLUS
DOCUMENT NUMBER: 141:38614
TITLE: Preparation of piperidinylbenzimidazoles and analogs thereof as antibacterials
INVENTOR(S): He, Yun; Swayze, Eric E.; Seth, Punit P.; Jefferson, Elizabeth Anne
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004047769 | A2 | 20040610 | WO 2003-US38093 | 20031126 |
| WO 2004047769 | A3 | 20040910 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

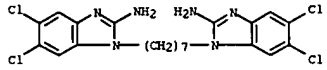
PRIORITY APPLN. INFO.: US 2002-429595P P 20021126
US 2002-430495P P 20021203
OTHER SOURCE(S): MARPAT 141:38614
GI



L4 ANSWER 6 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
AB Title compds., e.g. [I; R3, R4 = H, halo, alkyl, alkoxy, trihaloalkyl, alkoxycarbonyl, alkoxy, amino, NO2; R30 = alkyl, (substituted) heteroarylalkyl, aralkyl, heteroaryl, etc.], were prepared Thus, reaction of 2-(N-tert-butoxycarbonylpiperidin-4-yl)-5,6-dichlorobenzimidazole with 1,4-bis(bromomethyl)benzene and NaH in DMF at 0° for 2 h gave 56% protected dimer, which was treated with 4M HCl in dioxane for 2 h at room temperature to give 98% dimer (II). II showed an IC50 = 2-6 μM against S. aureus.
IT 578709-38-3 578709-40-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of piperidinylbenzimidazoles and analogs as antibacterials)
RN 578709-38-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,7-heptanediyl)bis- (9CI) (CA INDEX NAME)



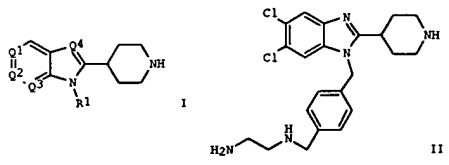
RN 578709-40-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,7-heptanediyl)bis[5,6-dichloro- (9CI) (CA INDEX NAME)



L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:633695 CAPLUS
DOCUMENT NUMBER: 139:180062
TITLE: Preparation of novel benzimidazole compounds as antibacterial agents
INVENTOR(S): Swayze, Eric E.; He, Yun; Seth, Punit P.; Jefferson, Elizabeth Anne
PATENT ASSIGNEE(S): Isis Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

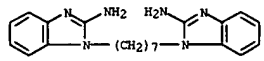
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003066622 | A1 | 20030814 | WO 2003-US3590 | 20030206 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| US 2003187258 | A1 | 20031002 | US 2002-71978 | 20020206 |

PRIORITY APPLN. INFO.: US 2002-71978 A 20020206
OTHER SOURCE(S): MARPAT 139:180062
GI

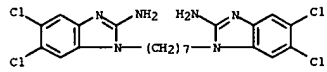


AB Novel benzimidazole derivs. of formula I [R1 = H, alkyl, aryl, arylalkyl, heteroaryl, arylsulfonyl, aryloxycarbonyl, etc.; Q1-Q3 = N, (substituted) CH; Q4 = N, S] are prepared that possess antibacterial activity. The invention also is directed to compns. including the benzimidazole derivs., and methods for using the same. Thus, II was prepared starting from 4,5-dichloro-1,2-phenylenediamine and N-BOC-isonipecotic acid, and had an MIC of 6-12 μM against S. aureus and 12-25 μM against E. coli.
IT 578709-38-3P 578709-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole compds. as antibacterial agents)

L4 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 578709-38-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,7-heptanediyl)bis- (9CI) (CA INDEX NAME)

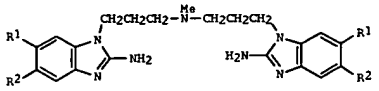


RN 578709-40-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,7-heptanediyl)bis[5,6-dichloro- (9CI) (CA INDEX NAME)

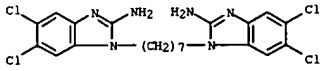


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:326010 CAPLUS
DOCUMENT NUMBER: 139:214392
TITLE: Identification of 2-Aminobenzimidazole dimers as antibacterial agents
AUTHOR(S): Seth, Punit P.; Jefferson, Elizabeth A.; Risen, Lisa M.; Osgood, Stephen A.
CORPORATE SOURCE: Ibis Therapeutics (A Division), Isis Pharmaceuticals, Inc., Carlsbad, CA, 92008, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2003), 13(10), 1669-1672
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214392
GI

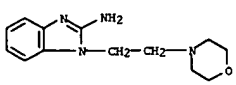


AB The preparation and evaluation of 2-aminobenzimidazole dimers I (R1 = R2 = H; R1 = H, R2 = Cl; R1 = H, R2 = CF3; R1 = H, R2 = Br; R1 = H, R2 = CN; R1 = H, R2 = CO2Me; R1 = Me, R2 = H; R1 = OMe, R2 = H; R1 = R2 = Cl) as antibacterial agents are described. Biol. screening of I indicated that compds. with multiple chloro substituents possessed optimal antibacterial activity.
IT 578709-40-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and screening of aminobenzimidazole dimers as antibacterial agents)
RN 578709-40-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,7-heptanediyl)bis[5,6-dichloro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
benzimidazol-2-yl)benzamide) and pharmaceutical compns. thereof are provided that are useful in the treatment of inflammatory and immune-related conditions or disorders. In particular, the invention provides compds. that modulate the expression and/or function of proteins involved in inflammation, immune response regulation and cell proliferation. IC50 values for inhibition of IRAK-1 and IRAK-4 (IRAK = IL-1 receptor assocd. kinase) are tabulated for about 30 I. For I: R1 = H, (C1-C8)alkyl, hetero(C1-C8)alkyl, fluoro(C1-C4)alkyl, cycloalkyl(C1-C8)alkyl, heterocyclo(C1-C8)alkyl, aryl, aryl(C1-C8)alkyl, arylhetero(C1-C8)alkyl and heteroaryl; R2 = (C1-C8)alkyl, hetero(C1-C8)alkyl, perfluoro(C1-C4)alkyl, aryl and heteroaryl. Y = C(O), S(O)m (m = 1-2), S(O)2NR', C(O)NR', CR3R4, C(NR'), C(:CR3R4), CR3(OR') and CR3(NR'R''). Z1 and Z2 = H, halogen, CN, CO2R', CONR'R'', (C1-C4)alkyl, (C1-C4)heteroalkyl, perfluoro(C1-C4)alkyl, aryl, heteroaryl, NR'R'' and OR', or Z' and Z2 may be combined to form an addnl. fused 5-, 6-, 7- or 8-membered cycloalkane, heterocycloalkane, arom. or heteroarom. ring. R3 and R4 = H, CN, CO2R', CONR'R'', (C1-C4)alkyl, (C1-C4)heteroalkyl, aryl, heteroaryl, NR'R'' and OR'. R' and R'' = H, (C1-C4)alkyl, hetero(C1-C4)alkyl, aryl and aryl(C1-C4)alkyl; alternatively, when R' and R'' are attached to N, R' and R'' may be combined with the N atom to form a 5-, 6- or 7-membered ring; and alternatively, when Y is CR3R4, C(NR'), C(:CR3R4), CR3(OR') or CR3(NR'R''), R3, R4 or R' may be combined with R2 to form a 5-, 6-, 7- or 8-membered ring contg. 0-3 heteroatoms O, N, Si and S; with the proviso that R1 is not 3-(dialkylamino)propyl when Y is C(O) and Z1 and Z2 are combined to form an addnl. fused benzene ring. Although the methods of prepn. are not claimed, 35 example prepns. are included.
IT 26840-48-2P, 1-(2-Morpholin-4-ylethyl)-2-aminobenzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of imidazoles for treating inflammatory and immune-related disorders associated with IL-1 receptor associated kinase or transcription factor NF-κB)
RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

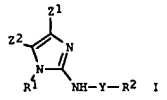


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:300888 CAPLUS
DOCUMENT NUMBER: 138:321276
TITLE: Preparation of imidazoles for treating inflammatory and immune-related disorders associated with IL-1 receptor associated kinase or the transcription factor NF-κB
INVENTOR(S): Frenkel, Alexander David; Lively, Sarah Elizabeth; Powers, Jay P.; Smith, Andrew; Sun, Daqing; Tomooka, Craig; Wang, Zhulun
PATENT ASSIGNEE(S): Tularik Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

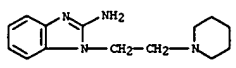
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2003030902 | A1 | 20030417 | WO 2002-US32437 | 20021009 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2458533 | AA | 20030417 | CA 2002-2458533 | 20021009 |
| US 2003144286 | A1 | 20030731 | US 2002-268412 | 20021009 |
| EP 1434579 | A1 | 20040707 | EP 2002-769042 | 20021009 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| JP 2005532251 | T2 | 20051027 | JP 2003-533934 | 20021009 |
| PRIORITY APPLN. INFO.: | | | US 2001-327818P P | 20011009 |
| | | | WO 2002-US32437 W | 20021009 |

OTHER SOURCE(S): MARPAT 138:321276
GI

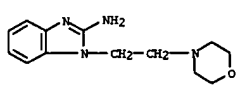


AB Imidazoles (shown as I; variables defined below; e.g. 3-nitro-N-(1H-

L4 ANSWER 10 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:121652 CAPLUS
DOCUMENT NUMBER: 139:214389
TITLE: Synthesis and Pharmacological Activity of 2-(Hetaryl)imidazo[1,2-a]benzimidazoles
AUTHOR(S): Anisimova, V. A.; Spasov, A. A.; Kucheryavenko, A. F.; Panchenko, T. I.; Ostrovskii, O. V.; Kosolapov, V. A.; Larionov, N. P.
CORPORATE SOURCE: Research Institute of Physical and Organic Chemistry, Rostov State University, Rostov-on-Don, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2002), 36(10), 528-534
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Kluwer Academic/Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:214389
AB A series of 2-(hetaryl)imidazo[1,2-a]benzimidazoles was synthesized via condensation of 1-R-2-aminobenzimidazoles with hetarylbromomethyl ketones followed by cyclization of the resulting 2-amino-3-hetaroylmethylbenzimidazolium bromides. The salts of these compds. were also synthesized and their pharmacol. activities, such as excitability of myocardium, antiaggregant and antioxidant activities were evaluated.
IT 26840-46-0 26840-48-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of (hetaryl)imidazo[1,2-a]benzimidazoles via condensation of aminobenzimidazoles with hetarylbromomethyl ketones followed by cyclization and their pharmacol. activities)
RN 26840-46-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

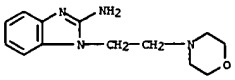


RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



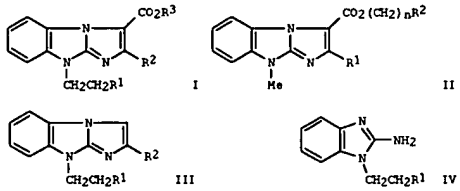
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:15329 CAPLUS
DOCUMENT NUMBER: 139:254742
TITLE: Synthesis and pharmacological activity of 1-N- and 10-N-substituted 1(10),2,3,4-tetrahydropyrimido-[1,2-a]benzimidazoles
AUTHOR(S): Anisimova, V. A.; Osipova, M. M.; Spasov, A. A.; Turchaeva, A. F.; Dudchenko, G. P.; Larionov, N. P.; Kovalev, S. G.
CORPORATE SOURCE: Research Institute of Physical and Organic Chemistry, Rostov State University, Rostov-on-Don, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (2002), 36(9), 468-473
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Kluwer Academic/Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
AB New N-substituted 1(10),2,3,4-tetrahydropyrimido-[1,2-a]benzimidazoles were synthesized and characterized in terms of their pharmacol. properties. Some of the synthesized compds. showed significant hypotensive, spasmolytic, and antiaggregant activities. The tetrahydropyrimido-[1,2-a]benzimidazoles influenced neither the basal activity of cAMP phosphodiesterase nor the calmodulin-stimulated activity of this enzyme.
IT 26840-48-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(1-N- and 10-N-substituted 1(10),2,3,4-tetrahydropyrimido-[1,2-a]benzimidazoles preparation and pharmacol. activity)
RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



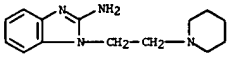
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:124779 CAPLUS
DOCUMENT NUMBER: 132:265148
TITLE: Synthesis and study of the hypotensive and antiarrhythmic activity of 2,9-disubstituted 3-alkoxycarbonylimidazo[1,2-a]benzimidazoles
AUTHOR(S): Anisimova, V. A.; Kuz'menko, T. A.; Spasov, A. A.; Bocharova, I. A.; Orobinskaya, T. A.
CORPORATE SOURCE: Research Institute of Physical and Organic Chemistry, Rostov State University, Rostov-on-Don, Russia
SOURCE: Pharmaceutical Chemistry Journal (Translation of Khimiko-Farmatsevticheskii Zhurnal) (1999), 33(7), 361-365
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:265148
GI

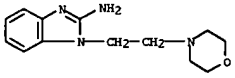


AB A series of 3-(alkoxycarbonyl)imidazo[1,2-a]benzimidazoles, in which (dialkylamino)alkyl groups were introduced either at the 9-position of the tricyclic nucleus, e.g., I (R1 = Et2N, piperidino, morpholino; R2 = Me, Ph, 1-naphthyl; R3 = Me, Et), or at the alkoxycarbonyl group, e.g., II (n = 2, 3; R1 = Me, Ph; R2 = Et2N, piperidino, morpholino, Me2N), were prepared from the corresponding 2,9-disubstituted imidazo[1,2-a]benzimidazoles III and 1-[(dialkylamino)alkyl]-2-aminobenzimidazoles IV. The hypotensive and antiarrhythmic activities of these compds. were also studied. The effects of the most active compds., I (R1 = morpholino, R2 = R3 = Me) and II (R1 = Me; R2 = Et2N, morpholino), exceed that of the reference drug dibazole.
IT 26840-46-0 26840-48-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and study of the hypotensive and antiarrhythmic activity of 2,9-disubstituted 3-(alkoxycarbonyl)imidazo[1,2-a]benzimidazoles)
RN 26840-46-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



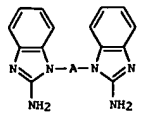
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:34861 CAPLUS
DOCUMENT NUMBER: 132:93320
TITLE: Preparation of aminobenzimidazoles and guanidines as novel potassium channel blocking agents
INVENTOR(S): Teuber, Lene; Olesen, Soren-Peter; Strobaek, Dorte; Jensen, Bo Skaaning; Peters, Dan
PATENT ASSIGNEE(S): Neurosearch A/S, Den.
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

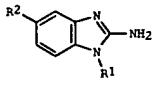
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000001676 | A1 | 20000113 | WO 1999-DK378 | 19990701 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| AU 9947689 | A1 | 20000124 | AU 1999-47689 | 19990701 |
| EP 1091942 | A1 | 20010418 | EP 1999-931019 | 19990701 |
| EP 1091942 | B1 | 20050330 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| JP 2002519412 | T2 | 20020702 | JP 2000-558081 | 19990701 |
| AT 292120 | E | 20050415 | AT 1999-931019 | 19990701 |
| US 6194447 | B1 | 20010227 | US 1999-347514 | 19990702 |
| US 2002049246 | A1 | 20020425 | US 2000-750345 | 20001229 |
| US 6380180 | B2 | 20020430 | | |
| US 2002137784 | A1 | 20020926 | US 2002-84179 | 20020228 |
| US 6569880 | B2 | 20030527 | | |

PRIORITY APPLN. INFO.: DK 1998-865 A 19980702
US 1998-92218P P 19980708
WO 1999-DK378 W 19990701
US 1999-347514 A3 19990702
US 2000-750345 A3 20001229
OTHER SOURCE(S): MARPAT 132:93320
GI

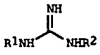
L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



I



II

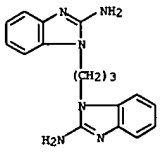


III

AB The title compds. [I (A = a spacing group containing of 1-20 atoms), II (R1 = mono- or polycyclic (un)substituted aryl, aralkyl, mono- or polycyclic heterocyclyl, etc.; R2 = H, alkyl, CF3), III (R1, R2 = H, alkyl, mono- or polycyclic heterocyclyl, etc.), etc.], useful for the treatment or alleviation of diseases or disorders associated with the activity of potassium channels, in particular asthma, cystic fibrosis, chronic obstructive pulmonary disease, convulsions, vascular spasms, coronary artery spasms, renal disorders, etc., were prepared Thus, treatment of N,N'-bis(2-aminophenyl)-1,4-butanediamine.2HCl (preparation given) with cyanogen bromide in DMF afforded I [A = (CH)4]. Biol. data for some of the title compds. were given.

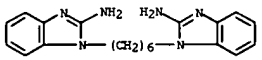
IT **39677-07-1P 39677-08-2P 254434-69-0P 254434-70-3P 254434-74-7P 254434-97-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminobenzimidazoles and guanidines as potassium channel blocking agents)

RN 39677-07-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,3-propanediyl)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

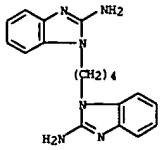
RN 254434-97-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,6-hexanediyl)bis- (9CI) (CA INDEX NAME)



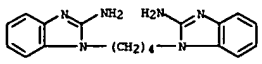
REFERENCE COUNT: 104 THERE ARE 104 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

RN 39677-08-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,4-butanediyl)bis- (9CI) (CA INDEX NAME)

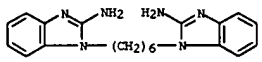


RN 254434-69-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,4-butanediyl)bis-, dihydrochloride (9CI) (CA INDEX NAME)



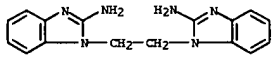
●2 HCl

RN 254434-70-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,6-hexanediyl)bis-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 254434-74-7 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,2-ethanediyl)bis- (9CI) (CA INDEX NAME)



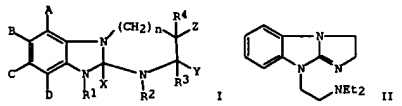
L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1994:245099 CAPLUS
DOCUMENT NUMBER: 120:245099
TITLE: Benzimidazole derivatives and analogs with antidiabetic and platelet antiaggregant activity, and their preparation and pharmaceutical compositions
INVENTOR(S): Anisimova, Vera Alekseevna; Levchenko, Margarita Valentinovna; Korochina, Tatyana Borisovna; Spasov, Alexander Alexeyevich; Kovalev, Sergei Gennadyevich; Dudchenko, Galina Petrovna
PATENT ASSIGNEE(S): Adir et Cie., Fr.
SOURCE: Eur. Pat. Appl., 66 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 571253 | A1 | 19931124 | EP 1993-401239 | 19930514 |
| EP 571253 | B1 | 19981104 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| FR 2691462 | A1 | 19931126 | FR 1992-6036 | 19920519 |
| FR 2691462 | B1 | 19950609 | | |
| FR 2694293 | A1 | 19940204 | FR 1992-9488 | 19920731 |
| FR 2694293 | B1 | 19941007 | | |
| AT 172975 | E | 19981115 | AT 1993-401239 | 19930514 |
| ES 2126636 | T3 | 19990401 | ES 1993-401239 | 19930514 |
| CA 2096475 | AA | 19931120 | CA 1993-2096475 | 19930518 |
| AU 9338608 | A1 | 19931125 | AU 1993-38608 | 19930518 |
| AU 656466 | B2 | 19950202 | | |
| JP 06087859 | A2 | 19940329 | JP 1993-151016 | 19930518 |
| JP 2506263 | B2 | 19960612 | | |
| US 5623073 | A | 19970422 | US 1993-63531 | 19930518 |
| ZA 9303509 | A | 19931210 | ZA 1993-3509 | 19930519 |
| US 5639756 | A | 19970617 | US 1994-330903 | 19941028 |

PRIORITY APPLN. INFO.: FR 1992-6036 A 19920519
FR 1992-9488 A 19920731

OTHER SOURCE(S): MARPAT 120:245099
GI

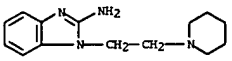


AB Members of claimed title compds. I (n = 0, 1; A, B, C, D = H, halo, alkyl, alkoxy, OH, CF3, hydroxyalkyl; Y, Z = H; or YZ = bond; XR1 or XR2 = bond, and other group (R1 or R2) = (un)substituted aminoalkyl, aroylalkyl, arylhydroxyalkyl, phenylalkyl, naphthylalkyl; R3 = H, alkyl, (un)substituted Ph, naphthyl, heteroaryl; R4 = H, (un)substituted

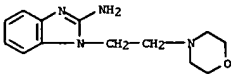
L4 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
aminoalkyl, aminoalkoxycarbonyl, aroyl, heteroaroyl; with many addnl. dependencies and provisos] were prepd. in 71 synthetic examples, mostly as salts, with the corresponding specific free bases also claimed. For example, 2-amino-1-[2-(diethylamino)ethyl]benzimidazole underwent N-alkylation at the 3-position by ClCH2CH2OH (90% yield), and treatment of the resulting alc. with SOCl2 gave the chloroethyl imine 1-[2-(diethylamino)ethyl]-2-imino-3-(2-chloroethyl)benzimidazole-2HCl (100%). Cyclization of the latter as the free base in xylene (92%) gave title compd. II, isolated as the di-HCl salt. Tests in rats showed I to have hypoglycemic activity comparable to gliclazide, lasting more than 12 h. I showed ID50 of < 10-4 M for inhibition of ADP-induced aggregation of rabbit platelets in vitro, but showed no significant antihypertensive effects in rats. Acute oral toxicity in mice was also said to be very low.

IT 26840-46-0 26840-48-2
RL: RCT (Reactant); RACT (Reactant or reagent)
(N-alkylation of, in preparation of imidazobenzimidazole antidiabetics)

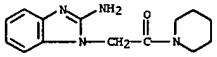
RN 26840-46-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



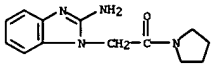
RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



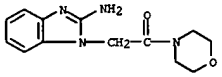
L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



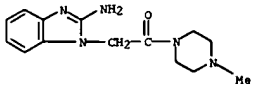
RN 131705-74-3 CAPLUS
CN Pyrrolidine, 1-[(2-amino-1H-benzimidazol-1-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 131705-75-4 CAPLUS
CN Morpholine, 4-[(2-amino-1H-benzimidazol-1-yl)acetyl]- (9CI) (CA INDEX NAME)



RN 131705-76-5 CAPLUS
CN Piperazine, 1-[(2-amino-1H-benzimidazol-1-yl)acetyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:62000 CAPLUS
DOCUMENT NUMBER: 114:62000
TITLE: Synthesis, antilipidemic and platelet antiaggregatory activity of 2-aminobenzimidazole amide derivatives
AUTHOR(S): Caroti, P.; Ceccotti, C.; Da Settimo, F.; Primofiore, G.; Franzone, J. S.; Reboani, M. C.; Cravanzola, C.
CORPORATE SOURCE: Ist. Chim. Farm., Univ. Pisa, Pisa, Italy
SOURCE: Farmaco (1989), 44(3), 227-55
CODEN: FRMCE8; ISSN: 0014-827X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:62000
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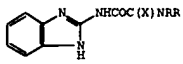
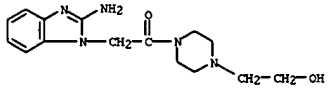


I

AB The synthesis and preliminary pharmacol. evaluation of title compds. (e.g., I, X = O, H2; NRR = NEt2, pyrrolidino, piperidino, morpholino) from 2-aminobenzimidazole and related compds. are reported. None of these compds. showed antilipidemic or platelet aggregation inhibiting activity comparable to that of drugs used in therapy.

IT 131705-77-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and blood platelet-aggregating inhibiting activity of)

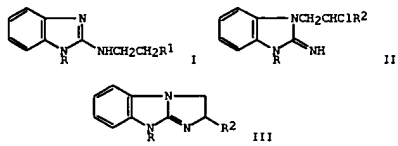
RN 131705-77-6 CAPLUS
CN 1-Piperazineethanol, 4-[(2-amino-1H-benzimidazol-1-yl)acetyl]- (9CI) (CA INDEX NAME)



IT 72502-60-4P 131705-74-3P 131705-75-4P 131705-76-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 72502-60-4 CAPLUS
CN Piperidine, 1-[(2-amino-1H-benzimidazol-1-yl)acetyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:5879 CAPLUS
DOCUMENT NUMBER: 108:5879
TITLE: Synthesis and pharmacological activity of some 2,3-dihydroimidazo[1,2-a]benzimidazoles and their intermediates
AUTHOR(S): Anisimova, V. A.; Levchenko, M. V.; Kovalev, G. V.; Spasov, A. A.; Dudchenko, G. P.; Antonyan, S. G.; Bessudnova, N. V.; Libinzon, R. E.
CORPORATE SOURCE: NII Fiz. Org. Khim., Rostov. Gos. Univ., Rostov-on-Don, USSR
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1987), 21(3), 313-19
CODEN: KHFZAN; ISSN: 0023-1134
DOCUMENT TYPE: Journal
LANGUAGE: Russian
OTHER SOURCE(S): CASREACT 108:5879
GI

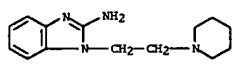


I II III

AB Thermal intramol. cyclization of benzimidazole derivs. I (R = Me, Pr, Bu, CH2Ph; R1 = Cl) and II (R = piperidinoethyl, Et; R2 = H, Ph) gave 86-100% title compds. III (same R, R2). The pharmacol. of compds. I-III were examined Hypoglycemic activity of III surpasses that of I or II. I-III all show hypotensive activity. III are effective acetylcholinesterase inhibitors, whereas I (same R; R1 = OMe) are cyclic AMP phosphodiesterase inhibitors.

IT 26840-46-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with chloroethanol)

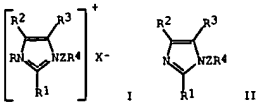
RN 26840-46-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1980:58776 CAPLUS
DOCUMENT NUMBER: 92:58776
TITLE: Imidazolium halides
INVENTOR(S): Ikura, Katsuyata; Katsuura, Kiyoshi; Mizuno, Masami; Nishibe, Tadayuki
PATENT ASSIGNEE(S): Nippon Soda Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 54079278 | A2 | 19790625 | JP 1977-145101 | 19771205 |
| JP 61000830 | B4 | 19860111 | | |
| PRIORITY APPLN. INFO.: | | | JP 1977-145101 A | 19771205 |

GI

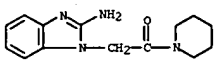


I II

AB Sixty-six imidazolium halides I [R = alkyl, cycloalkyl; Z = alkylene; R1 = H, alkyl, NH2; R2, R3 = H; R2, R3, and the imidazole ring may form a benzimidazole ring; X = halo; R4 = R5CO (R5 = NH2, alkylamino, etc.), R7C6H4C(:NOR6) (R6 = H, alkylcarbamoyl, etc.; R7 = H, halo)] were prepared, e.g., by reaction of RX with II. Antibacterial data were given against Phytophthora capsici, Helminthosporium maydis, Venturia inaequalis, Escherichia coli, Staphlococcus aureus, Candida albicans, and Trichophyton mentagrophytes. Thus, a mixture of 1.7 g II (R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2) and 1.5 g n-C11H23Br in PhMe was refluxed 17 h to give 46.6% I (R = n-C11H23, R1 = R2 = R3 = H, R4 = 2,4-Cl2C6H3NHCO, Z = CH2, X = Br).

IT 72502-60-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(alkylation of)

RN 72502-60-4 CAPLUS
CN Piperidine, 1-[(2-amino-1H-benzimidazol-1-yl)acetyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1978:50920 CAPLUS
DOCUMENT NUMBER: 88:50920
TITLE: Piperazine and piperidine derivatives
INVENTOR(S): Vandenberk, Jan; Kennis, Ludo E. J.; Van der Aa, Marcel J. M. C.; Van Heertum, Albert H. M. T.
PATENT ASSIGNEE(S): Janssen Pharmaceutica N. V., Belg.
SOURCE: Ger. Offen., 94 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

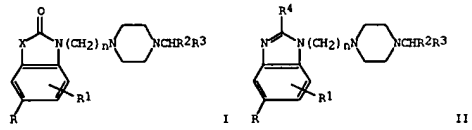
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 2714437 | A1 | 19771020 | DE 1977-2714437 | 19770331 |
| DE 2714437 | C2 | 19890511 | | |
| ES 456690 | A1 | 19780716 | ES 1977-456690 | 19770309 |
| FR 2346350 | A1 | 19771028 | FR 1977-7106 | 19770310 |
| FR 2346350 | B1 | 19801017 | | |
| BE 852405 | A2 | 19770914 | BE 1977-175736 | 19770314 |
| CA 1097646 | A1 | 19810317 | CA 1977-274240 | 19770318 |
| CS 191337 | P | 19790629 | CS 1977-1972 | 19770324 |
| GB 1579365 | A | 19801119 | GB 1977-12754 | 19770325 |
| JP 52122380 | A2 | 19771014 | JP 1977-35560 | 19770331 |
| JP 62031707 | B4 | 19870709 | | |
| AU 7723824 | A1 | 19781005 | AU 1977-23824 | 19770331 |
| AU 515173 | B2 | 19810319 | | |
| IL 51797 | A1 | 19810913 | IL 1977-51797 | 19770331 |
| DK 7701459 | A | 19771003 | DK 1977-1459 | 19770401 |
| DK 153477 | B | 19880718 | | |
| DK 153477 | C | 19881121 | | |
| FI 7701020 | A | 19771003 | FI 1977-1020 | 19770401 |
| FI 66178 | B | 19840531 | | |
| FI 66178 | C | 19840910 | | |
| SE 7703842 | A | 19771003 | SE 1977-3842 | 19770401 |
| SE 431333 | B | 19840130 | | |
| SE 431333 | C | 19840510 | | |
| NL 7703564 | A | 19771004 | NL 1977-3564 | 19770401 |
| NL 190522 | B | 19931101 | | |
| NL 190522 | C | 19940405 | | |
| NO 7701168 | A | 19771004 | NO 1977-1168 | 19770401 |
| NO 146774 | B | 19820830 | | |
| NO 146774 | C | 19821208 | | |
| ZA 7702000 | A | 19781129 | ZA 1977-2000 | 19770401 |
| SU 683621 | D | 19790830 | SU 1977-2468056 | 19770401 |
| AT 7702304 | A | 19791215 | AT 1977-2304 | 19770401 |
| AT 357541 | B | 19800710 | | |
| HU 21854 | O | 19820227 | HU 1977-JA782 | 19770401 |
| HU 179491 | B | 19821028 | | |
| CH 634317 | A | 19830131 | CH 1977-4154 | 19770401 |
| US 4200641 | A | 19800429 | US 1978-875342 | 19780206 |
| US 4250176 | A | 19810210 | US 1979-49779 | 19790618 |
| US 4377578 | A | 19830322 | US 1981-286438 | 19810724 |
| JP 61005068 | A2 | 19860110 | JP 1985-126384 | 19850612 |
| JP 62030990 | B4 | 19870706 | | |
| PRIORITY APPLN. INFO.: | | | US 1976-672919 A | 19760402 |
| | | | US 1976-753062 A | 19761221 |
| | | | JP 1977-35560 A | 19770331 |

L4 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

| | | | |
|---|---|---|---|
| | | US 1978-875342 | A3 19780206 |
| | | US 1979-88703 | A1 19791026 |

OTHER SOURCE(S): CASREACT 88:50920

GI

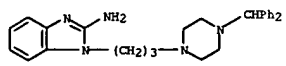


I II

AB Piperazines I and II (X = NH, NCMe:CH2, NCH2CH2CO2Et, NCH2Ph, NAc, NCONHMe, NMe, NCH2OH, NPh, NCH2CO2H, O, S; R = H, Cl, CF3, Me; R1 = H, 6-Cl, 6-Me, 7-Cl; R2 = Ph, 4-FC6H4, 4-ClC6H4, 3-ClC3H4, 4-FC6H4, 2-ClC6H4; R3 = Ph, 4-FC6H4, 4-BrC6H4, 4-MeC6H4, 4-O2NC6H4, 2-pyridyl, 3-pyridyl, 2,5-Me2C6H3, 4-pyridyl; R4 = H, Et, SMe, Me, Ph, SH, cyclohexyl, CH2Ph, NHCO2Me, NH2, NHAc; n = 2-6) (more than 85 compds.) were prepared I (X = NH, R = R1 = H, R2 = R3 = Ph, n =3, III) was prepared by treating chloropropylbenzimidazolone with N-diphenylmethylpiperazine. III was antihistaminic in guinea pig ileum test at 0.005 mg/L.

IT 65215-74-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acetylation of)

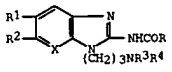
RN 65215-74-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1977:171452 CAPLUS
DOCUMENT NUMBER: 86:171452
TITLE: Antiinflammatory 1-[3-(dialkylamino)propyl]-2-acylaminobenzimidazoles and 2-acylamino-3-[3-dialkylamino)propyl]imidazo[4,5-b]pyridines
INVENTOR(S): Kadin, Saul B.
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S., 20 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| US 4002623 | A | 19770111 | US 1974-495375 | 19740807 |
| PRIORITY APPLN. INFO.: | | | US 1974-495375 A | 19740807 |

GI



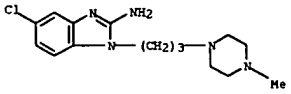
I

AB The title compds. I (R = Ph, substituted phenyl, styryl, CH2OMe, CH2CMe3, 2-furyl; R1 = H, CF3, Cl, Me, OMe, SO2NMe2; R2 = H, Me, Cl; NR3R4 = NMe2, morpholino, 4-methylpiperazino, 4-benzylpiperazino, piperazino, piperidino; X = CH, N) (114 compds.) were prepared and have antiinflammatory activity. Thus, 2-ClC6H4NO2 was treated with 1-(3-aminopropyl)-4-methylpiperazine, and the nitro group reduced, the amine cyclized with BrCN and acylated to give I (R = 3,4-Cl2C6H3, R1 = R2 = H, NR3R4 = 4-methylpiperazino) which at 10 mg/kg orally in rats gave 32% inhibition of adjuvant arthritis.

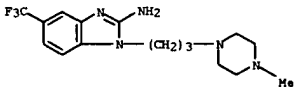
IT **62552-61-8P 62552-62-9P 62552-63-0P 62552-64-1P 62552-65-2P 62553-28-0P 62553-50-8P 62753-72-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and acylation of)

RN 62552-61-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 5-chloro-1-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

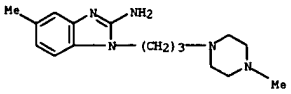
L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



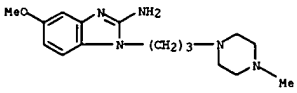
RN 62552-62-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(4-methyl-1-piperazinyl)propyl]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 62552-63-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 5-methyl-1-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

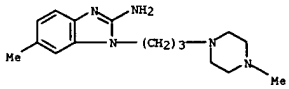


RN 62552-64-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 5-methoxy-1-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

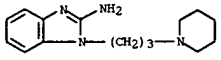


RN 62552-65-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 6-methyl-1-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

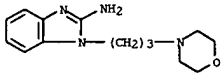
L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



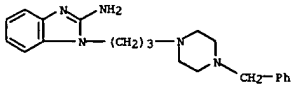
RN 62553-28-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(1-piperidinyl)propyl]- (9CI) (CA INDEX NAME)



RN 62553-50-8 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



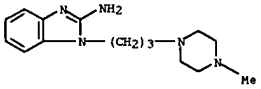
RN 62753-72-4 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-[4-(phenylmethyl)-1-piperazinyl]propyl]- (9CI) (CA INDEX NAME)



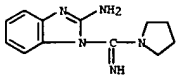
IT **62552-58-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and mesylation of)

RN 62552-58-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(4-methyl-1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

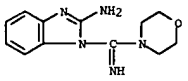
L4 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



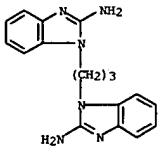
L4 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1975:140018 CAPLUS
DOCUMENT NUMBER: 82:140018
TITLE: Cyclization reactions of 2-aminobenzimidazoles to s-trizino[1,2-a]benzimidazoles
AUTHOR(S): Augustin, M.; Kuppe, K. R.
CORPORATE SOURCE: Sekt. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, Ger. Dem. Rep.
SOURCE: Tetrahedron (1974), 30(18), 3533-8
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 82:140018
GI For diagram(s), see printed CA Issue.
AB 2-Aminobenzimidazolyl-1-phenylimidate (I) and the -1-amidines II (R1, R2 = H, alkyl), prepared from 2-aminobenzimidazole and 1-cyano-2-aminobenzimidazole (III) resp., with aromatic aldehydes or acids gave 1,2-dihydro-2-aryl-s-triazino[1,2-a]benzimidazoles or 2-aryl-s-triazino[1,2-a]benzimidazoles. Thus, II (R1 = R2 = H) and p-O2NC6H4CO2H gave 87% IV. III with isocyanates or azomethines gave tetrahydro-s-triazino[1,2-a]benzimidazoles.
IT **55179-96-9P 55179-97-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 55179-96-9 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(imino-1-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



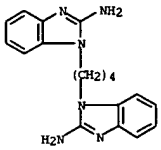
RN 55179-97-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-(imino-4-morpholinylmethyl)- (9CI) (CA INDEX NAME)



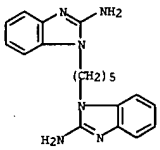
L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:43360 CAPLUS
DOCUMENT NUMBER: 78:43360
TITLE: Benzimidazole derivatives. XXIX. Synthesis of di(1-benzimidazolyl)alkanes and their relation to some nucleophilic agents
AUTHOR(S): Medvedeva, M. M.; Pozharskii, A. F.; Simonov, A. M.
CORPORATE SOURCE: Rostov. Gos. Univ., Rostov-on-Don, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1972), (10), 1418-21
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Benzimidazole derivs. I [X = (CH2)1-5, CH2OCH2, p-CH2C6H4CH2 were prepared in 37-100% yields. Treatment of I [X = (CH2)3-5 with NaNH2 gave the corresponding amines II in 16-30% yields. I [X = CH2, (CH2)2, p-CH2C6H4CH2, CH2OCH2] were not aminated. Hydroxylation of I [X = (CH2)3-5] with KOH gave the corresponding benzimidazolones III in 50-91% yields. Analogous treatment of I [X = CH2, (CH2)2, p-CH2C6H4CH2, CH2OCH2] gave only benzimidazole.
IT **39677-07-1P 39677-08-2P 39677-09-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 39677-07-1 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,3-propanediyl)bis- (9CI) (CA INDEX NAME)



RN 39677-08-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,4-butanediyl)bis- (9CI) (CA INDEX NAME)

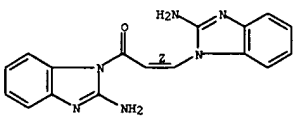


L4 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)
RN 39677-09-3 CAPLUS
CN 1H-Benzimidazol-2-amine, 1,1'-(1,5-pentanediyl)bis- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:140718 CAPLUS
DOCUMENT NUMBER: 76:140718
TITLE: Syntheses with heterocyclic amines. X. Reactions of some heterocyclic amines with propiolic acid ester
AUTHOR(S): Reimlinger, Hans; Peiren, Maurits A.; Merenyi, Robert
CORPORATE SOURCE: Union Carbide Eur. Res. Assoc., Brussels, Belg.
SOURCE: Chemische Berichte (1972), 105(3), 794-8
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Reaction of HC.tplbond.CCO2Me with 3-aminobenzisoxazole, 2-amino-s-triazolo[1,5-a]pyridine, 3-aminoindazole, and 2-aminobenzimidazole gave 2-oxo-2H-pyrimido[1,2-b]benzisoxazole (I) and 4-oxo-4H-pyrimido-[1,2-b]benzisoxazole (II), 4-oxo-4H-pyrido[1',2':2,3]-s-triazolo-[1,5-a]pyrimidine (III), 2-oxo-2,6-dihydropyrimido[1,2-b]-indazole or 4-oxo-4,6-dihydropyrimido[1,2-b]indazole, and 2-oxo-1,2-dihydropyrimido[1,2-a]benzimidazole (IV) or 4-oxo-1,4-dihydropyrimido[1,2-a]benzimidazole, resp.
IT **36216-79-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 36216-79-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[3-(2-amino-1H-benzimidazol-1-yl)-1-oxo-2-propenyl]-, (Z)- (9CI) (CA INDEX NAME)

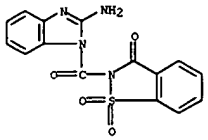
Double bond geometry as shown.



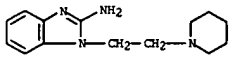
L4 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1972:14533 CAPLUS
DOCUMENT NUMBER: 76:14533
TITLE: 2-Carbamoyl-1,2-benzisothiazolin-3-one 1,1-dioxides
INVENTOR(S): Mine, Seizo; Shioyama, Itaru
PATENT ASSIGNEE(S): Japan Agricultural Chemicals and Insecticides Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 6 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 46036613 | B4 | 19711027 | JP | 19691203 |

GI For diagram(s), see printed CA Issue.
AB I, useful as a fungicide for phytopathogenic fungi, was prepared Thus, 2-chlorocarbonylsaccharine was gradually added to a solution of PhCH2NH2 in dioxane and the mixture stirred 2 hr to give 71% I (R1 = PhCH2, R2 = H). Similarly prepared were 65 more I.
IT 35131-62-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 35131-62-5 CAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 2-[(2-amino-1H-benzimidazol-1-yl)carbonyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

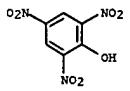


L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)

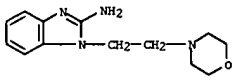


CM 2

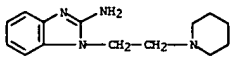
CRN 88-89-1
CMF C6 H3 N3 O7



RN 26840-48-2 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:111370 CAPLUS
DOCUMENT NUMBER: 72:111370
TITLE: Imidazole derivatives containing potentially labile groupings at the N-atom. III. N-(β-Aminoethyl)- and N-(β-hydroxyethyl)benzimidazoles and their behavior toward sodium amide. Mechanism of the Chichibabin reaction
AUTHOR(S): Pozharskii, A. F.; Simonov, A. M.; Zvezdina, E. A.; Anisimova, V. A.
CORPORATE SOURCE: Rostov.-na-Donu Gos. Univ., Rostov-on-Don, USSR
SOURCE: Khimiya Geterotsiklicheskikh Soedinenii (1969), (5), 869-73
CODEN: KGSSAQ; ISSN: 0132-6244
DOCUMENT TYPE: Journal
LANGUAGE: Russian
GI For diagram(s), see printed CA Issue.
AB Benzimidazole (1 mole) with Cl(CH2)2NH2.HCl and 2 moles base gave 78% I (n = 2, R = H, R1 = morpholino(Q)) (II), m. 56-7° (petroleum ether), b7 209° (picrate m. 226°), and 70% I (n = 2, R = H, R1 = piperidino (Z)) (III), m. 80-2° (petroleum ether), b5 207-10°; 2HCl salt m. 201°. Benzimidazole, ω-bromoethyl Ph ether, and KOH refluxed 2 hr in EtO h gave 82% I (n = 2, R = H, R1 = OPh), m. 96° (C6H6), b2 225-6°; p icrate m. 193°, HCl salt m. 162-3°. I (n = 2, R = H, R1 = OH) (6.5 g) and 55 ml SOCl2 was refluxed 1 hr to yield 95% I (n = 2, R = H, R1 = Cl), m. 89° (petroleum ether); picrate m. 214°; HCl salt m. 147-8°. To 0.51 g NaNH2 in 10 ml C6H4Me2 was added 2.29 g II and the mixture refluxed 2.5 hr to yield 50% I (n = 2, R = NH2, R1 = Q), m. 176° (aqueous EtOH), dipicrate m. 248°. Similarly, from III, was obtained 40% I (n = 2, R = NH2, R1 = Z), m. 190° (C6H6). pKa of I were measured at 25° ± 1° in 95:5 EtOH-H2O and calculated by the Henderson equation.
IT 26840-46-0P 26840-47-1P 26840-48-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 26840-46-0 CAPLUS
CN 1H-Benzimidazol-2-amine, 1-[2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 26840-47-1 CAPLUS
CN Benzimidazole, 2-amino-1-(2-piperidinoethyl)-, dipicrate (8CI) (CA INDEX NAME)

CM 1

CRN 26840-46-0
CMF C14 H20 N4